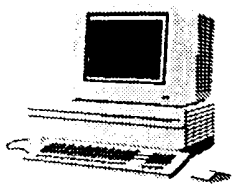
BioTech-Chem Library
Search Results
Feedback Form (Optional)



Scientific & Technical Information Center

The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact ***the BioTech-Chem searcher*** who conducted the search ***or contact***:

Mary Hale, Supervisor, 308-4258
CM-1 Room 1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* *(Example: 1610)*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Search results were not useful in determining patentability or understanding the invention.

Other Comments:

mary.hale@uspto.gov

CAPLUS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=> d que
L1                STR
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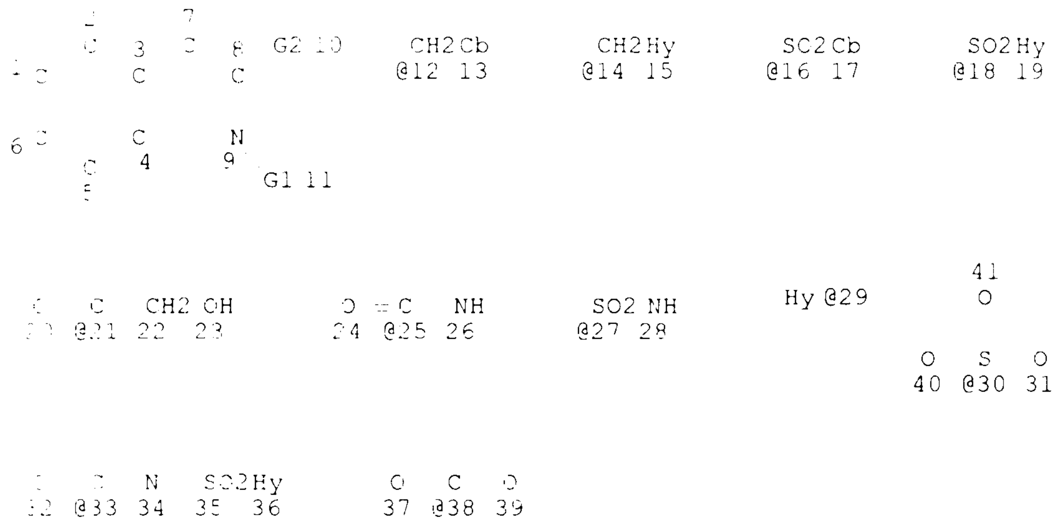


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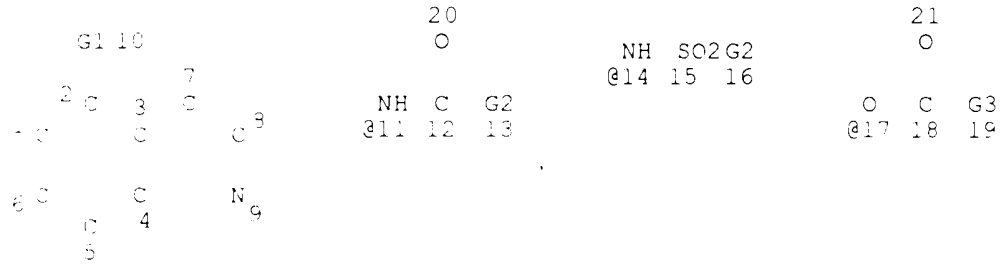

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE
L10 14 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
L11 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L10

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L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:553552 HCAPLUS
DOCUMENT NUMBER: 133:164001
TITLE: Preparation of indole-2-carboxylic acids as anti-inflammatory agents
INVENTOR(S): Faull, Alan Wellington; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 46 pp.

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046195	A1	20000810	WO 2000-GB260	20000131
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003507279	T2	20030121	JP 2000-597266	20000131

PRIORITY APPLN. INFO.: GB 1999 2459 A 19990205
WO 2000-GB260 W 20000131

OTHER SOURCE(S : MARPAT 133:164001
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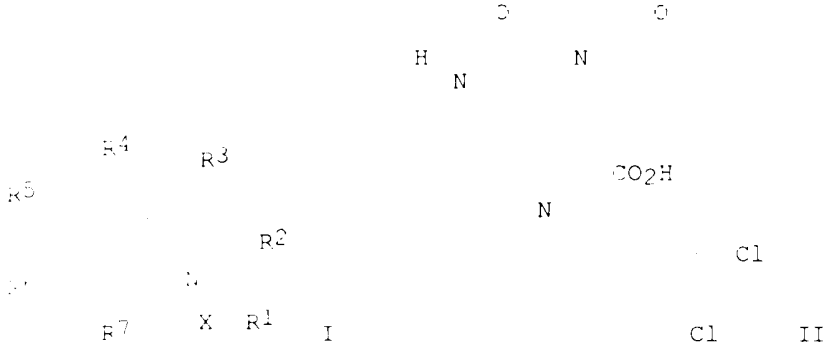
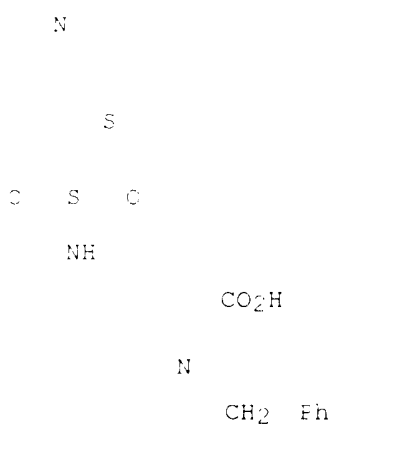


AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = NHCOR15, NHSO2R15, CONR16R17 (wherein R15 = (un)substituted alkyl, aryl, heteroaryl; R16, R17 = H, (un)substituted alkyl, aryl, heteroaryl; with the proviso that at least one of R16 or R17 is other than hydrogen, or NR16R17 form (un)substituted heterocyclic ring which optionally contains further heteroatoms); R5-R7 = H, a functional group, (un)substituted hydrocarbyl, heterocyclyl; and further provided that when R4 = NHCOR15, R15 = substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl], useful in the treatment of disease mediated by monocyte chemoattractant protein-1 or RANTES Regulated Upon Activation, Normal

IT **288067-50-5P 288067-51-6P 288067-52-7P**
288067-53-8P 288067-54-9P 288067-55-0P
288067-56-1P 288067-57-2P 288067-58-3P
288067-59-4P 288067-60-7P 288067-61-8P
288067-62-9P 288067-63-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole-2-carboxylic acids as anti-inflammatory agents)

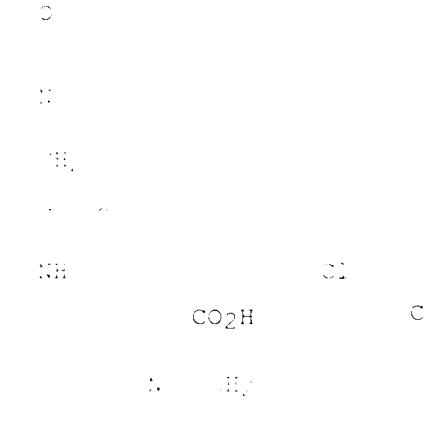
RN 288067-50-5 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-(phenylmethyl)-4-[[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 288067-51-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-4-[(4-morpholinylacetyl)amino]- (9CI) (CA INDEX NAME)



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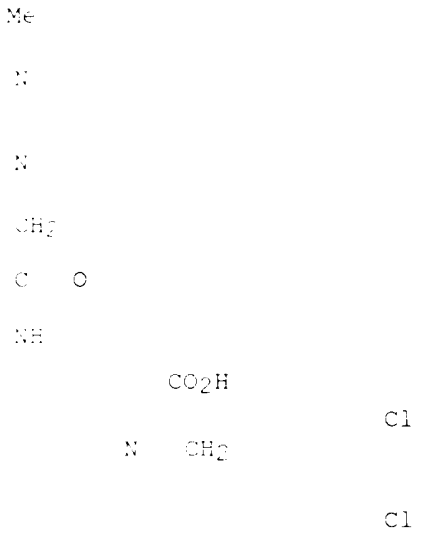
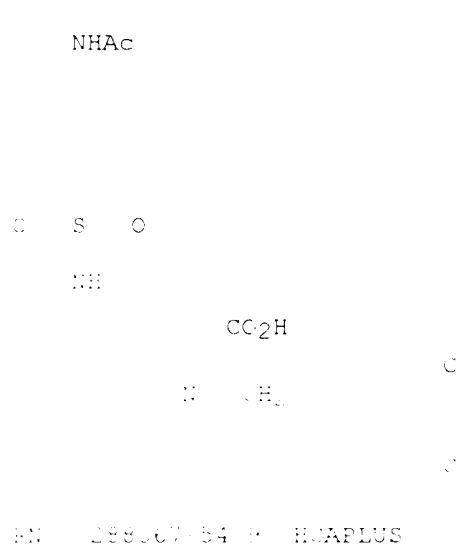
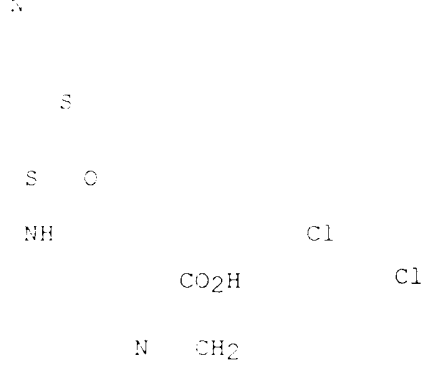


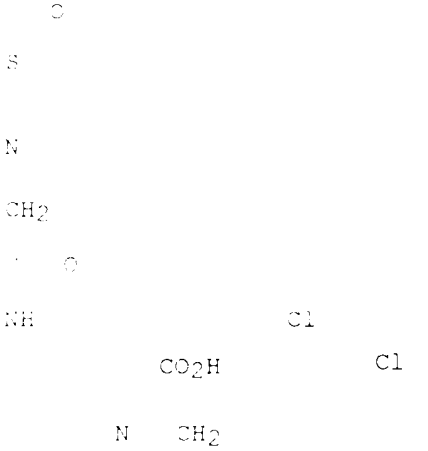
RN 288067-53-8 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[[[4-(acetylamino)phenyl]sulfonyl]amino]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



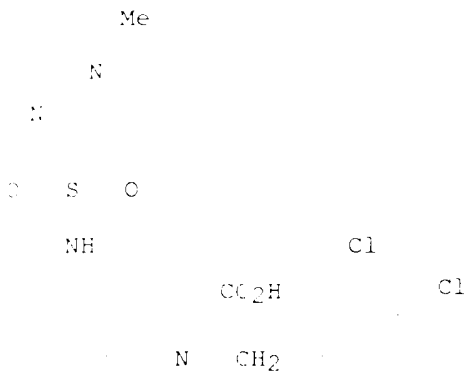
RN 288067-54-9 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-4-[[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



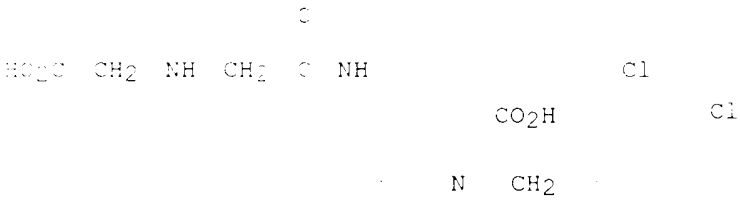
RN 288067-55-0 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-4-[[(1,1-dioxido-4-thiomorpholinyl)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 288067-56-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-4-[[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]- (9CI) CA INDEX NAME



RN 288067-57-2 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[[[(carboxymethyl)amino]acetyl]amino]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 288067-58-3 HCAPLUS
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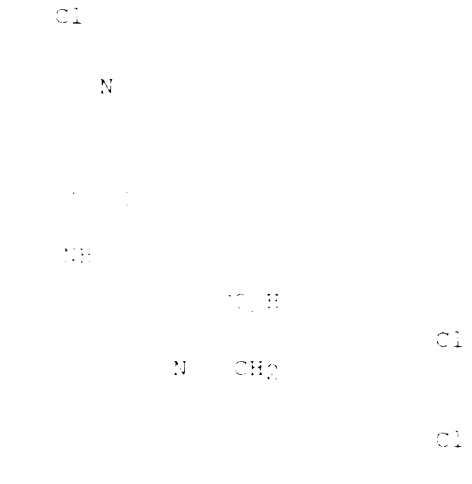
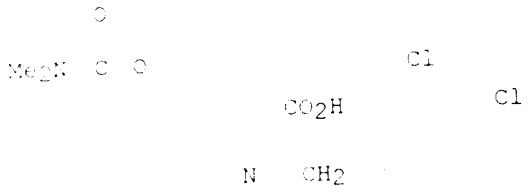
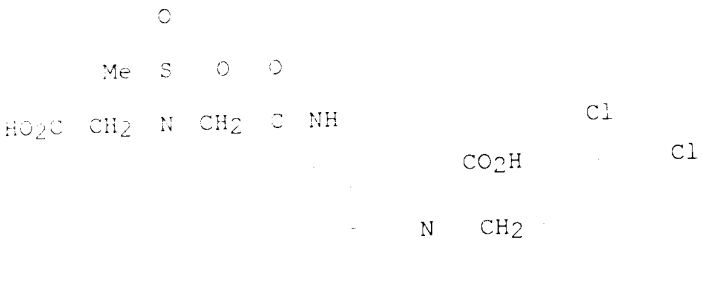
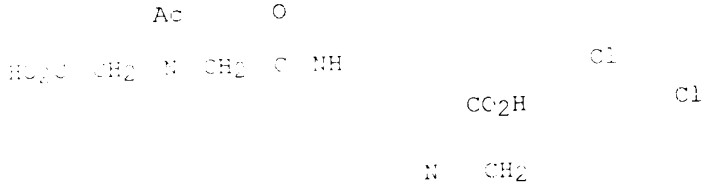




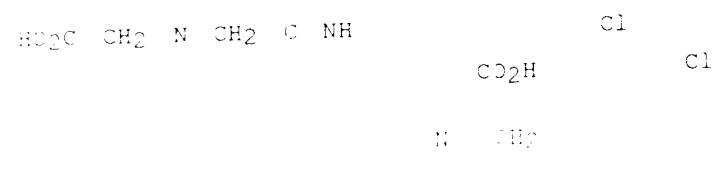
RN 288067-60-7 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[[[(carboxymethyl)(methylsulfonyl)amino]acetyl]amino]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

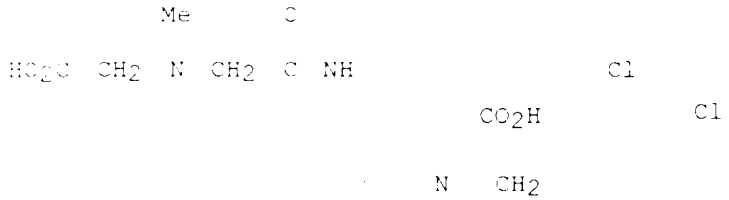


RN 288067-61-8 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[[[acetyl(carboxymethyl)amino]acetyl]amino]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 288067-62-9 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 4-[[[(carboxymethyl)(phenylmethyl)amino]acetyl]amino]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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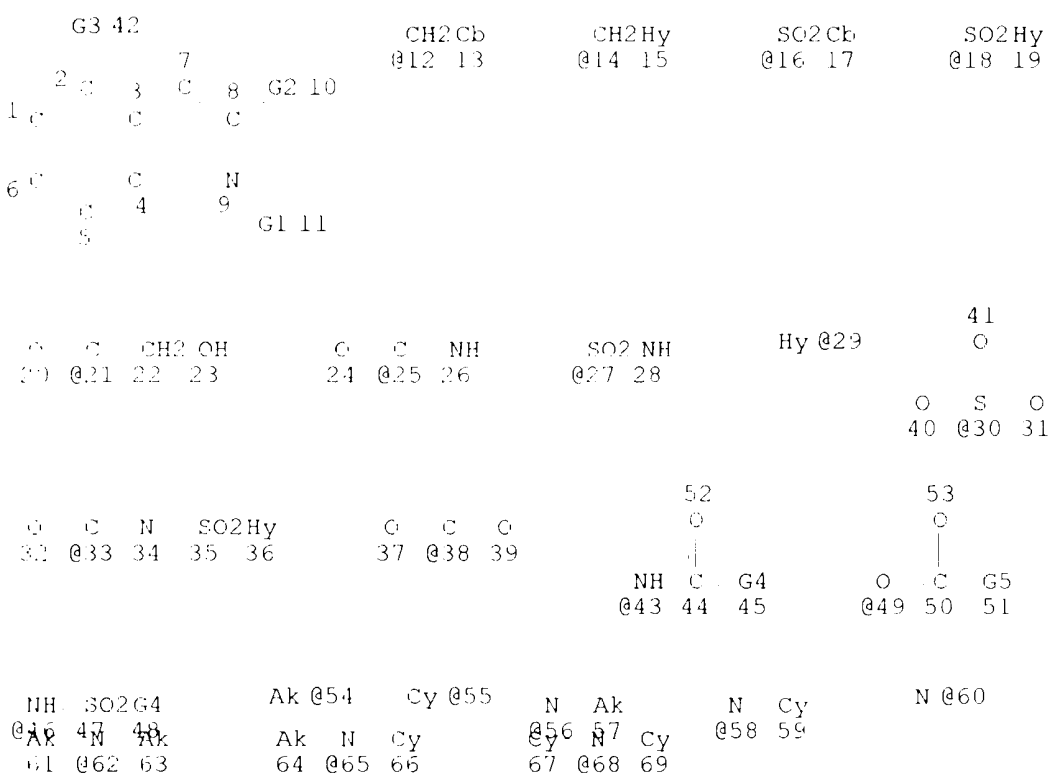

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DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE
L14 17 SEA FILE=MARPAT SSS FUL L12

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L14 ANSWER 1 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 137:93684 MARPAT
TITLE: Preparation of 3-substituted indole angiogenesis inhibitors
INVENTOR(S): Bamaung, Nwe Y.; Craig, Richard A.; Kawai, Megumi; Wang, Jieyi; Dai, Yujia; Guo, Yan; Sheppard, George; Verzal, Mary K.; Vasudevan, Anil; Michaelides, Michael
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 49 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020091148	A1	20020711	US 2001-952603	20010914
PRIORITY APPLN. INFO.:			US 2000-233390P	20000915

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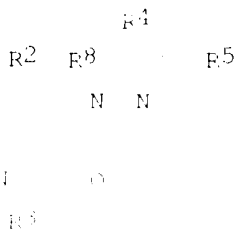
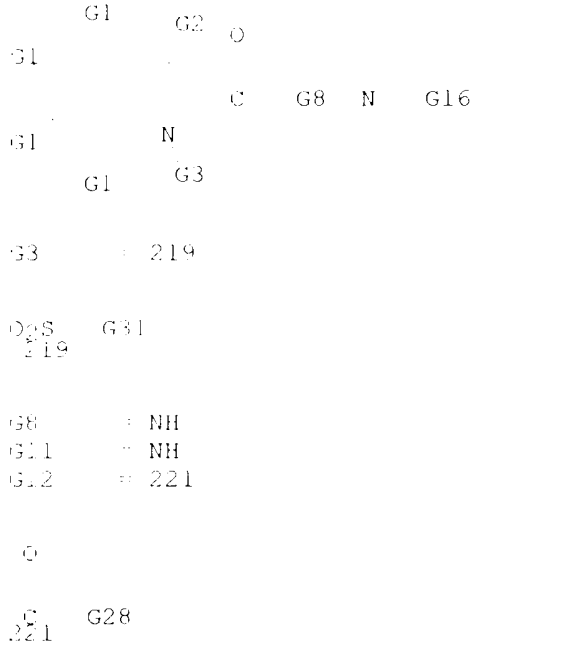


AB The title compds. [I; a = 0-4; R1 = alkoxy, NH2, halo, OH, NO2; R2 = alkenyl, alkyl, aryl, etc.; R3 = H, alkyl, N protecting group; one of R4 and R5 = alkyl, aryl, arylalkyl, etc., and the other = H, alkyl; R8 = H, alkyl], useful in inhibiting angiogenesis and cancer, were prepd. E.g., a multi-step synthesis of [illegible] was described.

MSTR 1



MPL: claim 1
NTE: [illegible]

L14 ANSWER 2 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:295089 MARPAT
TITLE: Preparation of amino acid aromatic derivatives with HIV integrase inhibitory properties
INVENTOR(S): N'Semba, Blaise Maqloire; Sauve, Gilles; Bethell, Ray; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor, Inc., Can.
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

WO 2002026697 A2 20020404 WO 2001-CA1367 20010925
WO 2002026697 A3 20020516
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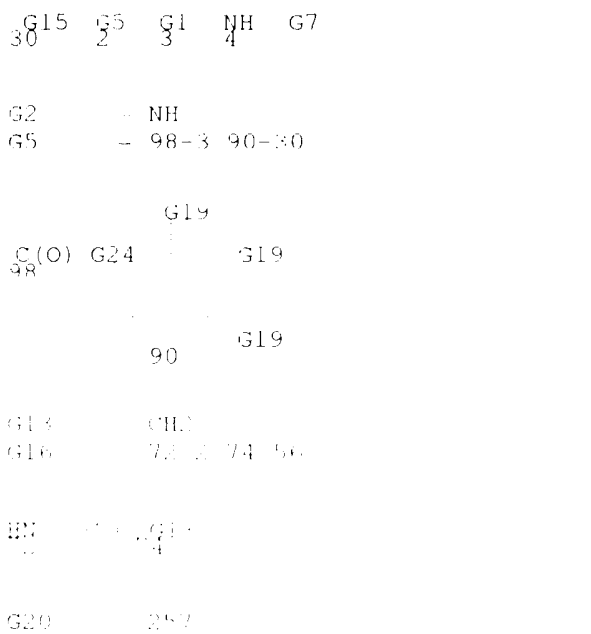
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2001095310 A5 20020408 AU 2001-95310 20010925
PRIORITY APPLN. INFO.: CA 2000-2321348 20000927
WO 2001-CA1367 20010925

AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H3CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-pyridyl, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be acylamino] were prepd. as inhibitors of HIV integrase. Thus, N-[N.alpha.-(3,4-dihydroxybenzoyl)-N.tau.-trityl-L-histidinyl]dopamine was prepd. by coupling of N.alpha.-(9-fluorenylmethoxycarbonyl)-N.tau.-trityl-L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

MSTR 1



G14 G14

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G14 G14

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MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: substitution is restricted

L14 ANSWER 3 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:247577 MARPAT
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022013	A2	20020314	WO 2001-US27480	20010905
WO 2002022013	A3	20020711		

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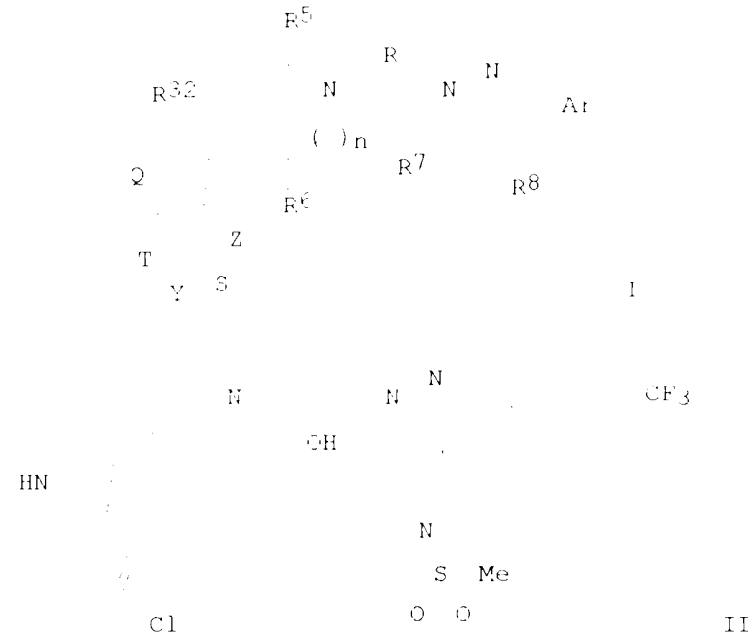
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

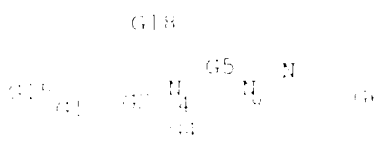
US 2002049019	A1	20020404	US 2001-927188	20010810
AU 2001088731	A5	20020322	AU 2001-88731	20010905

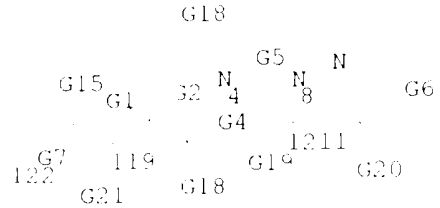
PRIORITY APPLN. INFO.: US 2000-230407P 20000906
US 2001-927188 20010810
US 2000-225178P 20000814



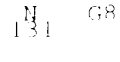
AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0 2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (prepn. given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addn. of 5-chloro-3-piperidin-4-yl-1H-indole (prepn. given) afforded II (88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 nM.

MSTR 1

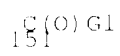




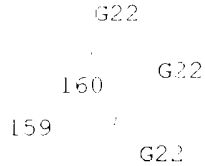
G7 = 131



G8 = CH2Ph
G15 = 151



G16 = NH2
G21 = 160-119 159-122



G25 = Ph
G28 = SO2
G30 = NH
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
STE: or stereoisomeric forms

L14 ANSWER 4 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:20183 MARPAT
TITLE: Substituted and/or fused pyranoles, particularly indolylpiperidinylpropyl substituted pyranolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
[illegible]
DOCUMENT TYPE: Patent

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014317	A2	20020221	WO 2001-US25180	20010810
WO 2002014317	A3	20020704		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

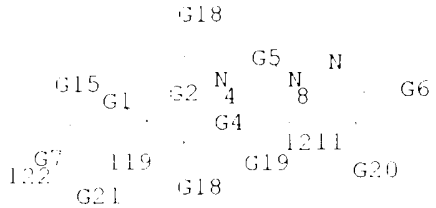
AU 2001084823	A5	20020215	AU 2001-84823	20010810
US 2002040019	A1	20020404	US 2001-927188	20010810
PRIORITY APPLN. INFO.:			US 2000-225178P	20000814
			US 2001-927188	20010810
			WO 2001-US25180	20010810

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyranoles I, methods of manufg. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 are CH); E = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 70 individual compds. I were prepd. and/or claimed, with detailed preps. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepd. in 5 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.03 .mu.M. Compd. III is another one of four specifically preferred compds.

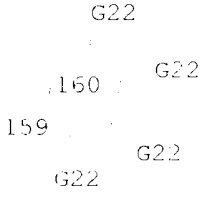
MSTR 1



G7 = 131

G8 = CH2Ph
G15 = 151

G16 = NH2
G21 = 160-119 159-122

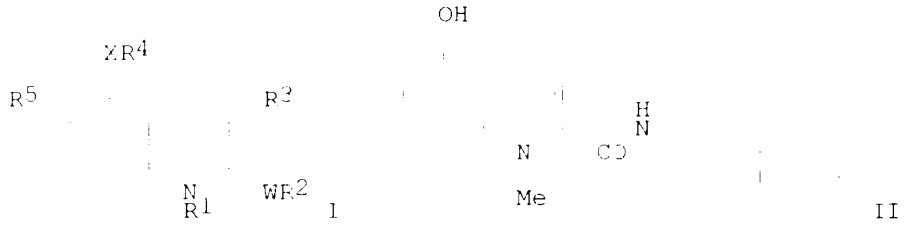


G25 = Ph
G28 = SO2
G30 = NH
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
STE: or stereoisomeric forms

L4 ANSWER 1 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 134:326405 MARPAT
TITLE: Preparation of indoles for pharmaceutical use as ; selective modulators of nuclear receptor proteins
INVENTOR(S): Gurley, David; Rosamond, James
PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

WO 2001032622 A1 20010510 WO 2000-SE2147 20001101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
BE 2000015193 A 20020618 BE 2000-151[illegible] 20001101
EP 1230218 A1 20020814 EP 2000-976499 20001101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 20022105 A 20020702 NO 2002-2105 20020502
PRIORITY APPLN. INFO.: SE 1999-3984 19991103
WO 2000-SE2147 20001101

GI



I II

Ab Indoles, such as I [R1 = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = H, aryl, alkyl, etc.; R3, R5 = H, halogen, alkyl; R4 = H, alkyl, arylalkyl, acyl, sulfonyl, etc.; X = O, NH; W = CO, CO2, CONR6; R6 = H, alkyl, aryl, heteroaryl, etc.], were prepd. to enhance the efficacy of agonists at nicotinic receptors for treatment of conditions assocd. with redns. in nicotinic transmission, such as psychotic disorders, intellectual impairment disorders, Huntington's disease, Tourette's syndrome, Parkinson's disease, attention deficit hyperactivity disorder, anxiety, etc. Thus, indole II was prepd. via amidation of 4-benzyloxy-1-methyl-1H-indole-2-carboxylic acid with phenethylamine using TBTU, HOBt and DIEA in DMF. The prepd. indoles were assessed for their enhancement of nicotinic efficacy.

MSTR 1

GE

G4 G1

G2 = NH2
G5 = 43

43 C(O)G2

G7 = NH
G12 = Ph
G13 = Ph
G20 = (1-2) CH2
G21 = C(O)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: and pharmaceutically acceptable salts
STE: or enantiomers

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 134:80806 MARPAT
TITLE: Methods of treating fungal infections with inhibitors of NAD synthetase
INVENTOR(S): Brouillette, Wayne J.; Brouillette, Christie G.; Delucas, Lawrence J.
PATENT ASSIGNEE(S): The UAB Research Foundation, USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000197	A2	20010104	WO 2000-US18029	20000629
WO 2001000197	A3	20010907		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1194135	A2	20020410	EP 2000-943535	20000629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000012135	A	20020702	BR 2000-12135	20000629
PRIORITY APPLN. INFO.:			US 1999-141436P	19990629
			WO 2000-US18029	W 20000629

AB The present invention provides methods of treating fungal infections with inhibitors of NAD synthetase.

catalytic sites in yeast whereby the yeast is killed.

MSTR 1

G1 G4 G3
1 3

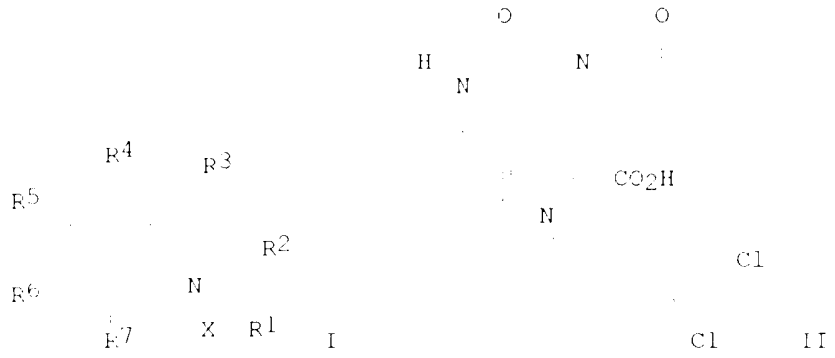
G1 = indolyl (SO (1-) G7)
G3 = 44

G8
44

G6 = (1-12) CH2
G7 = CO2H / NHCOPh
MPL: claim 4

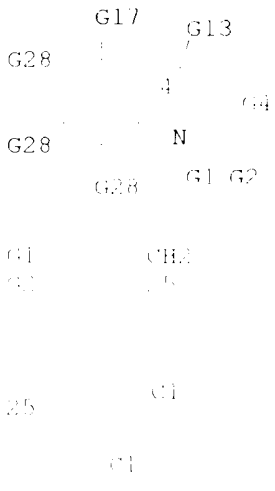
L14 ANSWER 7 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:164001 MARPAT
TITLE: Preparation of indole-2-carboxylic acids as anti-inflammatory agents
INVENTOR(S): Faull, Alan Wellington; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046195	A1	20000810	WO 2000-GB365	20000131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1150969	A1	20011205	EP 2000-901255	20000131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003520779	T2	20030708	JP 2000-597266	20000131
[illegible]			[illegible]	[illegible]



AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = NHCOR15, NHSO2R15, OCONR16R17 (wherein R15 = (un)substituted alkyl, aryl, heteroaryl; R16, R17 = H, (un)substituted alkyl, aryl, heteroaryl; with the proviso that at least one of R16 or R17 is other than hydrogen, or NR16R17 form (un)substituted heterocyclic ring which optionally contains further heteroatoms); R5-R7 = H, a functional group, (un)substituted hydrocarbyl, heterocyclyl; and further provided that when R4 = NHCOR15, R15 = substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl], useful in the treatment of disease mediated by monocyte chemoattractant protein-1 or RANTES (Regulated Upon Activation, Normal T-cell Expressed and Secreted), such as inflammatory disease, were prepd. and formulated. E.g., a multi-step synthesis of the indole II which showed IC50 of 1.17 .mu.M against hMCP-1 receptor binding, was given.

MSTR 1



$_{52}^{S}(O)CH_2OH$

G17 = 229

$_{229}^{O}C(O)G18_{101}$

G18 = morpholino
MPL: claim 1

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 132:347584 MARPAT
TITLE: Preparation of naphthylacetylpiperazines as serotonin ligands useful as pro-erectile compounds
INVENTOR(S): Hayes, Eric S.
PATENT ASSIGNEE(S): Nortran Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

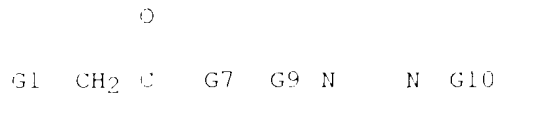
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000028993	A1	20000525	WO 1999-US27484	19991119

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

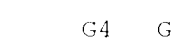
PRIORITY APPLN. INFO.: US 1998-109255P 19981119

AB Use of .alpha.-substituted compds. that can occupy 5-HT2C and 5-HT2A receptors, or 5-HT2C, 5-HT2A, and 5-HT3 receptors, or 5-HT2C 5-HT2A, and 5-HT1A receptors, or 5-HT2C, 5-HT2A, 5-HT3, and 5-HT1A receptors for manuf. of a medicament for treatment of sexual dysfunction is claimed. Thus, 1-naphthylacetic acid was refluxed 1 h in SOCl2 to give an oil which was added to a 78.degree. soln. of 1-methylpiperazine in CH2Cl2 to give 1-methyl-4-(1-naphthylacetyl)piperazine monohydrochloride. The latter inhibited radioligand binding to 5-HT2A, 5-HT2C and 5-HT3 receptors by 72%, 51%, and 60%, resp.

MSTR 1



G1 = 41



G3 = COMe
G4 = 131

G5 = SO2NH2 / 123

G6 = CH2Ph
DER: and salts, solvates and tautomers
MPL: claim 58
NTE: substitution is restricted
STE: and enantiomers or diastereomers

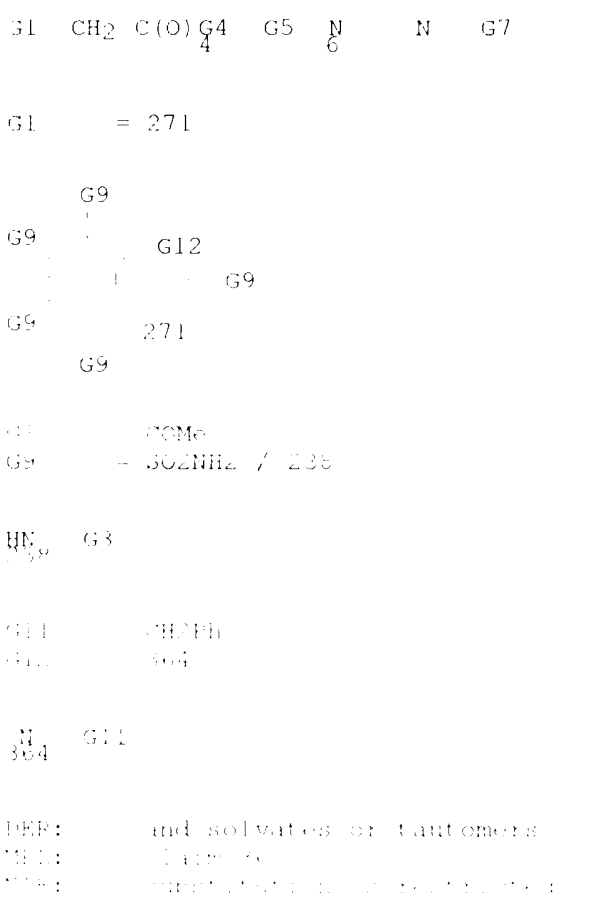
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 132:88205 MARPAT
TITLE: Piperazine moiety-containing acetic acid derivatives in compositions and methods for modulating sexual activity
INVENTOR(S): Beatch, Gregory N.; Choi, Lewis S. L. P. P.; Hayes, Eric C.; Doherty, Alexander P.
PATENT ASSIGNEE(S): Myriad Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

WO 2000002550 A3 20000615
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9949811 A1 20000201 AU 1999-49811 19990708
PRIORITY APPLN. INFO.: US 1998-92047P 19980708
WO 1999-US15571 19990708

AB Substituted acetic acid derivs. contg. a piperazine moiety (prepn. included) are useful as pro-libido agents for males and females, and may be used for the treatment of sexual dysfunction, including erectile dysfunction and impotence, and to enhance sexual performance.

MSTR 2

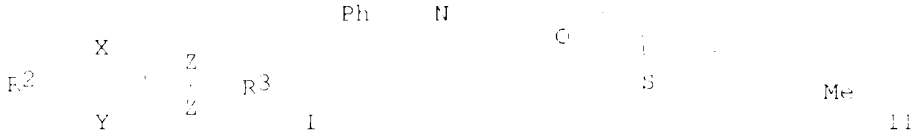


L14 ANSWER 10 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:27187[illegible] MARPAT
TITLE: Cholinergic antagonists
INVENTOR(S): Chen, Yuhpyng Liang; Nagel, Arthur Adam
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5965574	A	19991012	US 1996-689745	19960813
US 6303633	B1	20011016	US 1999-438712	19991111
US 2002049210	A1	20020425	US 2001-935903	20010823

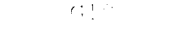
PRIORITY APPLN. INFO.:
US 1991-771283 19911003
WO 1992-US7230 19920831
US 1994-211044 19940309
US 1996-689745 19960813
US 1997-957639 19971024
US 1999-438712 19991111

GI

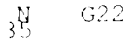


AB Title compds. [I; 1 of R2,R3 = R1Z1Z2Z3 and the other = H; R1 = phenyl(alkyl), cinnamyl, heterocarylmethyl; X = N or CH; Y = O, S, NR6; R6 = H, alkyl, Ph, etc.; ZZ = atoms to complete an (un)substituted thiopene ring, benzene ring, pyridine ring, etc.; Z1 = piperidine-1,4 diyl; Z2 = alkenylene, Z3 = CO or CH2] were prepd. as acetylcholinesterase inhibitors [no data]. Thus, 5-methylbenzothiophene anion was condensed with 1-(4-fluorobenzyl)-4-piperidinylpropenal and the oxidized product hydrogenated to give title compd. II.

MSTR 1

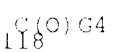


G9 = 35



G16 = 58

G18 = 118 / NHCOMe



G22 = SO2Ph (SO (1-5) alkyl<(1-4)>)
MPL: claim 1
NTE: also incorporates broader disclosure
NTE: additional ring formation also disclosed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:87814 MARPAT
TITLE: Indole derivatives as inhibitors of factor Xa, and their preparation and use as anticoagulants
INVENTOR(S): Defossa, Elisabeth; Heinelt, Uwe; Klingler, Otmar; Zeller, Gerhard; Al-Obeidi, Fahad; Walser, Armin; Wildgoose, Peter; Matter, Hans
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland Gmbh, Germany
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

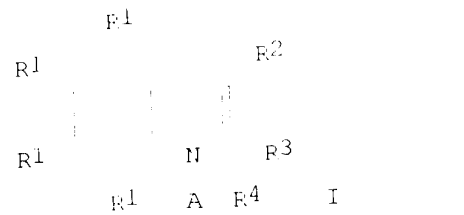
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933800	A1	19990708	WO 1998 EP8030	19981210

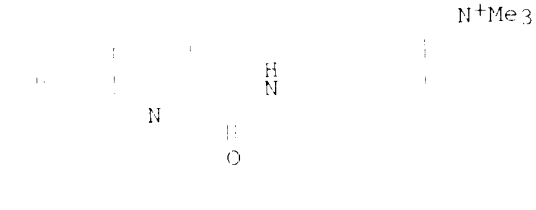
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM,

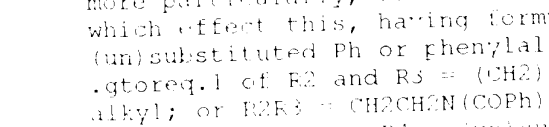
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

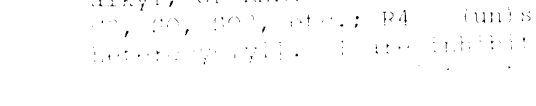
CA 2316172	AA	19990708	CA 1998-2316172	19981210
AU 9920528	A1	19990719	AU 1999-20528	19981210
AU 743881	B2	20020207		
BR 9814340	A	20001003	BR 1998-14340	19981210
EP 1042287	A1	20001011	EP 1998-965244	19981210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
JP 2001507066	T2	20011225	JP 2000-526484	19981210
ZA 9811759	A	19990728	ZA 1998-11759	19981222
NO 2000003057	A	20000818	NO 2000-3057	20000614
US 6337344	B1	20020108	US 2000-582344	20000614
PRIORITY APPLN. INFO.:			EP 1997-122901	19971124
			WO 1998-EP8030	19981210

GI





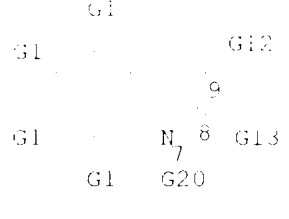




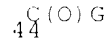
AB The invention relates to the inhibition of blood clotting proteins, and more particularly, to indole derivs. or their physiol. acceptable salts which effect this, having formula I [R1 groups = H, halo, alkyl, CF3, (un)substituted Ph or phenylalkoxy, etc., with .gtoreq.2 of R1 being H; .gtoreq.1 of R2 and R3 = (CH2)0-2CO2H or derivs., other = H, F, Cl, Br, or alkyl; or R2R3 = CH2CH2N(COPh)CH2 or analogs; A = bond, alk(en/yn)ylene, [illegible]; R4 = (un)substituted Ph, pyridyl, or other [illegible]. The inhibitors of the blood clotting enzyme factor Xa, [illegible]. [illegible]

further relates to compns. contg. I, in particular pharmaceutical compns. contg. a compd. I and pharmaceutically acceptable carriers and/or auxiliary substances. Over 160 compds. I were prepd. For instance, 1H-indole-2-carboxylic acid Et ester underwent a 5-step sequence to give title salt II. This prepn. involved (1) N-alkylation with 3-cyanobenzyl bromide, (2) alk. hydrolysis of the ester, (3) amidation with 4-(Me2N)C6H4CH2NH2.2HCl, (4) conversion of the nitrile to a thioamide, and (5) quaternization at dimethylamino, and ammonolysis of the thioamide to an amidine. In an assay using human factor Xa in vitro, II had a Ki value of 0.090 .mu.M.

MSTR 1



G2 = NH
G3 = COPh (SO)
G13 = 44



G17 = 39

G22 = CH2
G23 = Ph (SO (1 , G21,
DER: and precursors and physiologically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 10
NTE: additional ring formation also claimed
NTE: all stereoisomers and mixtures

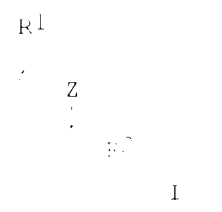
REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:44659 MARPAT
TITLE: Preparation of N-aryl-1-adamantaneacetamides and [illegible] as factor Xa inhibitors and anticoagulants
[illegible]: [illegible]

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

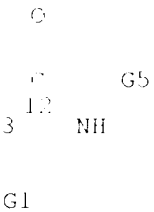
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929660	A1	19990617	WO 1998-SE2189	19981201
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2312889	AA	19990617	CA 1998-2312889	19981201
AU 9917914	A1	19990628	AU 1999-17914	19981201
AU 746716	B2	20020502		
EP 1036058	A1	20000920	EP 1998-962752	19981201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9813368	A	20001003	BR 1998-13368	19981201
JP 2001525391	T2	20011211	JP 2000-524257	19981201
US 6242470	B1	20010605	US 1999-230511	19990126
NO 2000002785	A	20000801	NO 2000-2785	20000531
PRIORITY APPLN. INFO.:			SE 1997-4545	19971205
			WO 1998-SE2189	19981201

GI

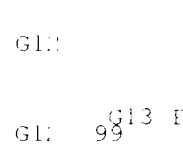


AB Title compds. [I; R1 = XC(O)NHR; R = unsubstituted Ph, benzothiazolyl, indolyl, pyridyl, etc.; R2 = H or halo; X = CH2 or O; Z = CH2, CH2CH2, OCH2, NHCH2] were prepd. Thus, 1-adamantaneacetyl chloride was amidated by 6-amino-3-methylbenzothiazole to give I [R1 = CH2C(O)NHR, R = 3-methyl-6-benzothiazolyl, R2 = H, Z = CH2]. Data for biol. activity of I were given.

MSTR 1A



G3 = CH2
G5 = indolyl (SO (1-) G6)
G6 = 2[6 / 6a



G13 = CH2
DER: or pharmaceutically acceptable salts or solvates
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

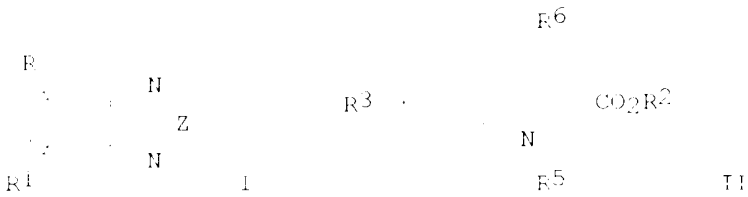
L14 ANSWER 13 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 127:65772 MARPAT
TITLE: Preparation of indolyl(alkyl)benzothiadiazoles and analogs as endothelin receptor antagonists
INVENTOR(S): Mederski, Werner; Oswald, Mathias; Dorsch, Dieter; Schmitges, Claus J.; Wilm, Claudia; Christadler, Maria; Amzahli, Scheila
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19543639	A1	19970528	DE 1995-19543639	19951123
CN 1156146	A	19970806	CN 1996-110857	19960726
CN 1155539	A	19970730	CN 1996-109279	19960801
WO 9719077	A1	19970529	WO 1996-EP5128	19961120

DS: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

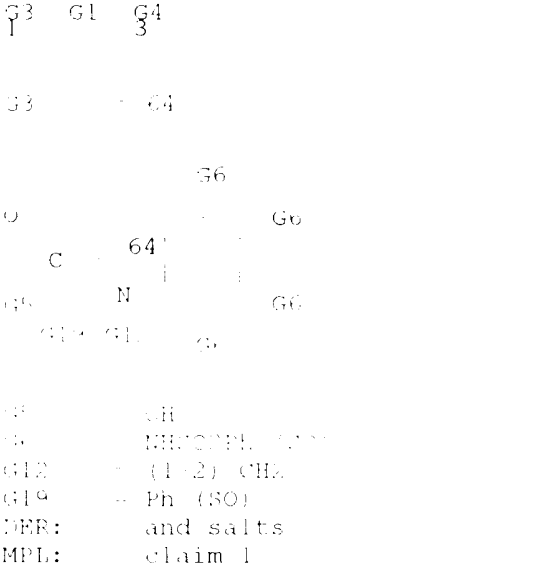
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI
ZA 9609775 A 19980521 ZA 1996-9775 19961121
PRIORITY APPLN. INFO.: DE 1995-19543639 19951123
WO 1996-EP5120 19961120

GI



AB Title compds. [I; R = indolyl(alkyl) group II; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; R3 = 1 or 2 H, halo, OH, alkoxy, etc.; R5 = (CH2)1-2R4 and R6 = bond or R5 = (CH2)1-2 and R6 = (un)substituted Ph; R4 = (un)substituted Ph; Z = O or S] were prepd. as endothelin receptor antagonists (no data). Thus, II (R2 = Et, R3 = 5-OPr, R6 = 3,4-methylenedioxyphenyl)(III; R5 = H)(prepn. given) N-alkylated by 5-bromomethyl-2,1,3-benzothiadiazole to give III (R5 = 2,1,3-benzothiadiazol-5-ylmethyl).

MSTR 1

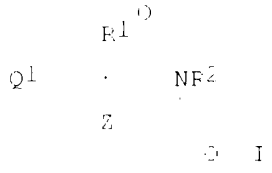


G12 = (1-2) CH2
G19 = Ph (SO)
DER: and salts
MPL: claim 1

INVENTOR(S): Macleod, Angus Murray
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545478	A1	19930609	EP 1992-203656	19921126
R: CH, DE, FR, GB, IT, LI, NL				
CA 2083891	AA	19930604	CA 1992-2083891	19921126
US 5334606	A	19940802	US 1992-982794	19921130
JP 05262728	A2	19931012	JP 1992-349804	19921202
PRIORITY APPLN. INFO.:			GB 1991-25726	19911203
			GB 1992-7055	19920331
			GB 1992-16237	19920730

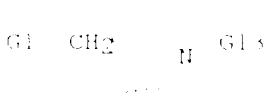
GI

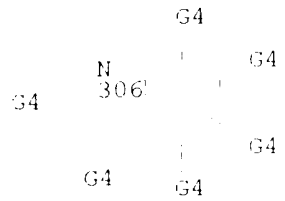


I

AB Title compds. [I; Q1 = halophenyl, (substituted) naphthyl, indolyl, benzothiophenyl, benzofuryl, benzyl, fluorenyl; R1 = H, alkyl, alkenyl; R2 = (substituted) phenylalkyl; Z = O, S, NR8, CR9R10; R8 = H, alkyl, (substituted) Ph, phenylalkyl, COR11, CO2R11, CONR9R10; R9, R10 = H, alkyl, (substituted) phenyl(alkyl); R11 = (substituted) Ph, phenylalkyl, alkyl] were prepd. Thus, indolelactic acid in CH2Cl2 was treated successively with Et3N, tert-butyldimethylsilyl triflate, Et3N, iso-Bu chloroformate, and 3,5-bis(trifluoromethyl)benzylamine to give indolelactic acid 3,5-bis(trifluoromethyl)benzylamide. This was stirred with carbonyldiimidazole in THF to give 3-[3,5-bis(trifluoromethyl)benzyl]-5-(indol-3-ylmethylene)oxazolidine-2,4-dione. This antagonized substance P at human neurokinin 1 receptors with IC50 = 21 nM.

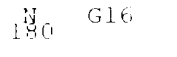
MSTR 1B





G4 = 4'

G7 = NH
G8 = COCF3
G10 = NH2
G15 = 1'0



DER: or salts or prodrugs
MPL: claim 1

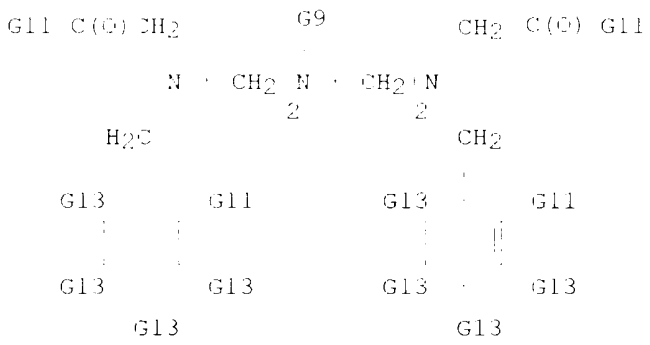
L14 ANSWER 15 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 119:138890 MARPAT
TITLE: Preparation of diethylenetriamine derivatives and their use for diagnostic and therapeutic purposes
INVENTOR(S): Mikhail, Gamal
PATENT ASSIGNEE(S): Bayer A. G., Germany
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 541675	A1	19930519	EP 1992-911994	19920706
EP 541675	B1	19960911		
R: CH, DE, FR, GB, LI, SE				
DE 41 36489	A1	19930513	DE 1991-4136489	19911106
CA 2082023	AA	19930507	CA 1992-2082023	19921103
JP 05221942	A2	19930831	JP 1992-317924	19921104

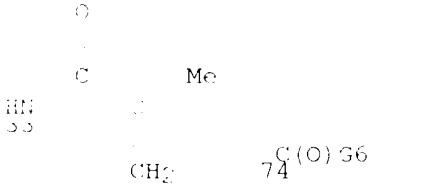
PRIORITY APPLN. INFO.: DE 1991-4136489 19911106
GI

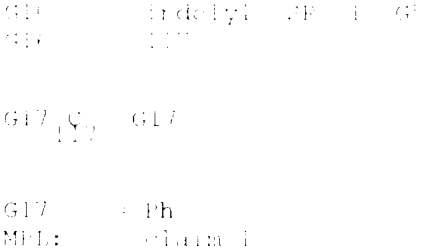
AB Title compds. [I; X = (heteroatom group-contg.) arylene, alkylene; Y = NHCOCMe:CH2, Q1, Q2, Q3, NH2, OH, halomethylcarbonyl, halo, NCO, NCS, CHO, CO2H, SH, halocarbonyl, N3CO, imidazolylcarbonyl, etc.; X1, X2 = H, (substituted) alkyl, aryl; R = H, ammonium, alkali metal, alk. earth metal; R1 = alkyl, Cl, Br; n = 1-4], were prepd. Thus, phthalic anhydride was heated with diethylenetriamine in CHCl3 to give 61% 1,7-diphthaloyldiethylenetriamine. This was refluxed with KOH and 4-O2NC6H2CH2Br to give 80% 4-(p-nitrobenzyl)-1,7-diphthaloyldiethylenetriamine. This was refluxed with 6N HCl to give 67% 4-(p-nitrobenzyl)diethylenetriamine, which was stirred with salicylaldehyde in EtOH to give 58% bis-Schiff base, which was converted to title compd. II in several steps. II showed a stability complex with Eu of infinity (no free Eu detectable).

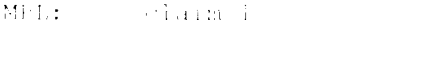
MSTR 1B



G5 = 33 / 74







INVENTOR(S): Morigaki, Masakazu; Nakamura, Shigeru; Fujita, Yoshihiro; Kawamoto, Hiroshi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 156 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

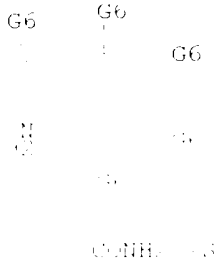
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 519190	A1	19921223	EP 1992-107386	19920430
EP 519190	B1	19980211		
R: BE, DE, FR, GB, IT, NL				
JP 04359249	A2	19921211	JP 1991-159918	19910605
JP 2729545	B2	19980318		
US 5270148	A	19931214	US 1992-876749	19920429

PRIORITY APPLN. INFO.: JP 1991-159918 19910605
GI For diagram(s), see printed CA Issue.
AB A processing soln. for a Ag halide color photog. material contains .gtoreq.1 compd. represented by the formula I (X1 = a nonmetallic at. group necessary for forming a N-contg. heteroarom. ring) and .gtoreq.1 compd. represented by the formula II (X2 = a nonmetallic at. group necessary for forming a N-contg. heteroarom. ring; R1, R2 = alkyl or aryl and R1 and R2 may be combined to form a 4- to 8-membered ring). The processing soln. gives a reduced HCHO vapor pressure and provides stabilized dye images.

MSTR 2A

G14 CH_2 G1

G1 = 92

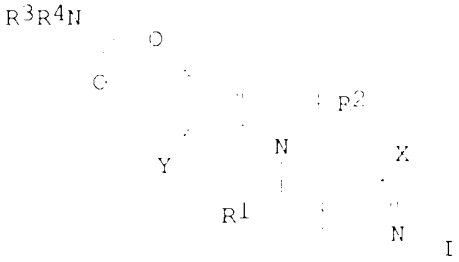


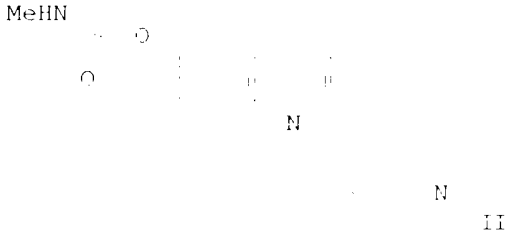
L14 ANSWER 17 OF 17 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 116:214361 MARPAT
TITLE: Preparation of (N-pyridinylalkyl)carbamoyloxyindoles and -indolines as acetylcholinesterase inhibitors
INVENTOR(S): Effland, Richard Charles; Davis, Larry; Olsen, Gordon E.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 471298	A1	19920219	EP 1991-113336	19910808
EP 471298	B1	19951102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 129710	E	19951115	AT 1991-113336	19910808
ES 2079532	T3	19960116	ES 1991-113336	19910808
FI 9103801	A	19920214	FI 1991-3801	19910809
CA 2048931	AA	19920214	CA 1991-2048931	19910812
CA 2048931	C	20011030		
NO 9103141	A	19920214	NO 1991-3141	19910813
NO 178372	B	19951204		
NO 178372	C	19960313		
AU 9181766	A1	19920220	AU 1991-81766	19910813
AU 638158	B2	19930617		
HU 58723	A2	19920330	HU 1991-2675	19910813
CA 2106340	A	19920429	CA 1991-2106340	19910813
JP 05125075	A2	19930521	JP 1991-201712	19910813
JP 06072934	B4	19940907		
IL 99167	A1	19950526	IL 1991-99167	19910813
CZ 284753	B6	19990317	CZ 1991-2490	19910813
US 5264442	A	19931123	US 1992-835510	19920214
US 5455245	A	19951003	US 1994-248920	19940525
US 5688810	A	19971118	US 1995-554457	19950831

PRIORITY APPLN. INFO.: US 1990-567704 19900814
US 1992-835510 19920214
US 1993-109526 19930820
US 1994-248920 19940525

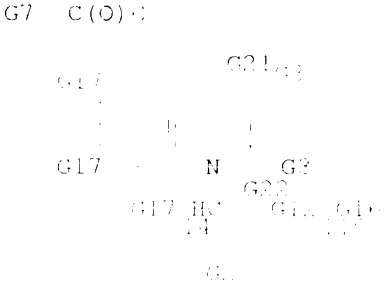
GI

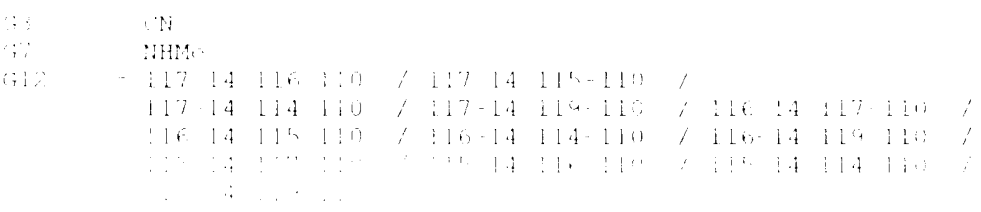


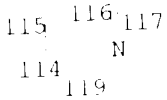


AB Title compds. [I; R1 = H, alkyl, arylalkyl, alkenyl, alkynyl; R2 = H, alkyl, alkenyl, CHO, cyano; R3 = H, alkyl; R4 = alkyl, arylalkyl, cycloalkyl, (hetero)aryl, heteroarylalkyl, etc.; NR3R4 = piperidino, pyrrolidino, morpholino, tetrahydroisoquinolino, etc.; X, Y = H, NO2, NH2, halo, alkyl, alkoxy, OH] were prepd. Thus, a mixt. of 1-(4-pyridinylmethyl)-1H-indol-5-ol, MeNCO, and K2CO3 was stirred 3 h in THF to give title compd. II. II inhibited rat striatum acetylcholinesterase with IC50 = 6.83 .mu.M.

MSTR 1A







DER: or pharmaceutically acceptable acid addition salts
MPL: claim 1
STE: or geometric and optical isomers and racemic mixtures

Bolstein (M. Hits)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=> d que
L12                 STR

     G3 42                                CH2 Cb      CH2 Hy      SO2 Cb      SO2 Hy
                7                         @12 13      @14 15      @16 17      @18 19
    2 C   3   C   8  G2 10
1   C         C       C


6   C         C       N
      C   4           9
      5
                G1 11


                                                                          41
  O   S   CH2 CH          O   C   NH          SO2 NH          Hy @29      O
 20 @21 22  23           24 @25 26           @27 28                      .
                                                                      O   S   O
                                                                     40 @30 31


                                                    52                53
                                                    O                 O
  O   C   N   SO2 Hy          O   C   O            .                 .
 32 @33 34  35  36           37 @38 39          NH  C   G4        O   C   G5
                                               @43 44  45        @49 50  51


  NH  SO2 G4          Ak @54    Cy @55    N   Ak        N   Cy        N @60
@46 47  48                                @56 57        @58 59
 Ak  N   Ak          Ak  N   Cy          Cy  N   Cy
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VAR G1=17/14/16/13
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VAR G3 43/49/18
VAR G4=54/55
VAR G5=56/58/60/62/65/68
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CONNECT  IS X3  RC AT   7
CONNECT  IS X3  RC AT   8
CONNECT  IS E1  RC AT  31
CONNECT  IS E1  RC AT  34
CONNECT  IS E1  RC AT  40
CONNECT  IS E1  RC AT  41
CONNECT  IS E2  RC AT  55
CONNECT  IS E2  RC AT  [illegible]
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GGCAT    IS UNS  AT  13
GGCAT    IS UNS  AT  15
GGCAT    IS UNS  AT  17
GGCAT    IS UNS  AT  19
[illegible]
[illegible]
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DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6 C AT 13
ECOUNT IS M6 C AT 17
ECOUNT IS E1 C E4 N AT 29
ECOUNT IS E3 C E1 N E1 O AT 36

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE
L15 0 SEA FILE=BEILSTEIN SSS FUL L12